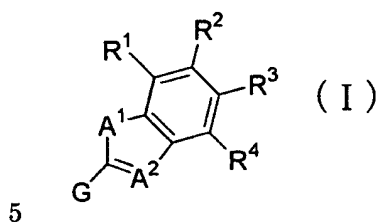


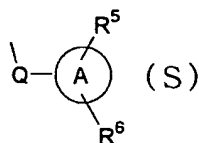
## CLAIMS

1. A fused heterocyclic derivative represented by the following general formula (I):



wherein

one of  $R^1$  and  $R^4$  represents a group represented by the general formula:



10 [in the formula  $R^5$  and  $R^6$  independently represent a hydrogen atom, a hydroxy group, a halogen atom, a  $C_{1-6}$  alkyl group, a  $C_{2-6}$  alkenyl group, a  $C_{2-6}$  alkynyl group, a  $C_{1-6}$  alkoxy group, a  $C_{2-6}$  alkenyloxy group, a  $C_{1-6}$  alkylthio group, a  $C_{2-6}$  alkenylthio group, a halo( $C_{1-6}$  alkyl) group, a halo( $C_{1-6}$  alkoxy) group, a

15 halo( $C_{1-6}$  alkylthio) group, a hydroxy( $C_{1-6}$  alkyl) group, a hydroxy( $C_{2-6}$  alkenyl) group, a hydroxy( $C_{1-6}$  alkoxy) group, a hydroxy( $C_{1-6}$  alkylthio) group, a carboxy group, a carboxy( $C_{1-6}$  alkyl) group, a carboxy( $C_{2-6}$  alkenyl) group, a carboxy( $C_{1-6}$  alkoxy) group, a carboxy( $C_{1-6}$  alkylthio) group, a  $C_{2-7}$

20 alkoxy carbonyl group, a  $C_{2-7}$  alkoxy carbonyl( $C_{1-6}$  alkyl) group, a  $C_{2-7}$  alkoxy carbonyl( $C_{2-6}$  alkenyl) group, a  $C_{2-7}$

alkoxycarbonyl(C<sub>1-6</sub> alkoxy) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkylthio) group, a C<sub>1-6</sub> alkylsulfinyl group, a C<sub>1-6</sub> alkylsulfonyl group, -U-V-W-N(R<sup>7</sup>)-Z, or any of the following substituents (i) to (xxviii) which may have 1 to 3 substituents

5 selected from the following substituent group  $\alpha$  on the ring;

(i) a C<sub>6-10</sub> aryl group, (ii) C<sub>6-10</sub> aryl-O-, (iii) C<sub>6-10</sub> aryl-S-, (iv) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkyl) group, (v) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkoxy) group, (vi) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkylthio) group, (vii) a heteroaryl group, (viii) heteroaryl-O-, (ix) heteroaryl-S-,

10 (x) a heteroaryl(C<sub>1-6</sub> alkyl) group, (xi) a heteroaryl(C<sub>1-6</sub> alkoxy) group, (xii) a heteroaryl(C<sub>1-6</sub> alkylthio) group, (xiii) a C<sub>3-7</sub> cycloalkyl group, (xiv) C<sub>3-7</sub> cycloalkyl-O-, (xv) C<sub>3-7</sub> cycloalkyl-S-, (xvi) a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkyl) group, (xvii) a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkoxy) group, (xviii) a C<sub>3-7</sub>

15 cycloalkyl(C<sub>1-6</sub> alkylthio) group, (xix) a heterocycloalkyl group, (xx) heterocycloalkyl-O-, (xxi) heterocycloalkyl-S-, (xxii) a heterocycloalkyl(C<sub>1-6</sub> alkyl) group, (xxiii) a heterocycloalkyl(C<sub>1-6</sub> alkoxy) group, (xxiv) a heterocycloalkyl(C<sub>1-6</sub> alkylthio) group, (xxv) an aromatic

20 cyclic amino group, (xxvi) an aromatic cyclic amino(C<sub>1-6</sub> alkyl) group or (xxvii) an aromatic cyclic amino(C<sub>1-6</sub> alkoxy) group, (xxviii) an aromatic cyclic amino(C<sub>1-6</sub> alkylthio) group,

J represents a C<sub>1-6</sub> alkylene group which may have a hydroxy group, or a C<sub>2-6</sub> alkenylene group;

25 U represents -O-, -S- or a single bond and with the proviso that at least one of V and W is not a single bond when U is -O- or -S-);

V represents a C<sub>1-6</sub> alkylene group which may have a hydroxy group, a C<sub>2-6</sub> alkenylene group or a single bond;

W represents -CO-, -SO<sub>2</sub>-, -C(=NH)- or a single bond;

Z independently represents a hydrogen atom, a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>6-10</sub> aryl (C<sub>2-7</sub> alkoxy carbonyl) group, a formyl group, -R<sup>A</sup>, -COR<sup>B</sup>, -SO<sub>2</sub>R<sup>B</sup>, -CON(R<sup>C</sup>)R<sup>D</sup>, -CSN(R<sup>C</sup>)R<sup>D</sup>, -SO<sub>2</sub>NHR<sup>A</sup> or -C(=NR<sup>E</sup>)N(R<sup>F</sup>)R<sup>G</sup>;

R<sup>7</sup>, R<sup>A</sup>, R<sup>C</sup> and R<sup>D</sup> independently represent a hydrogen atom, a C<sub>1-6</sub> alkyl group which may have 1 to 5 substituents selected from the following substituent group β, or any of the following substituents (xxix) to (xxxii) which may have 1 to 3 substituents selected from the following substituent group α;

(xxix) a C<sub>6-10</sub> aryl group, (xxx) a heteroaryl group, (xxxi) a C<sub>3-7</sub> cycloalkyl group or (xxxii) a heterocycloalkyl group or Z and R<sup>7</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the following substituent group α;

or R<sup>C</sup> and R<sup>D</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the following substituent group α;

R<sup>B</sup> represents a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>6-10</sub> arylsulfonylamino group, a C<sub>1-6</sub> alkyl group which may have 1 to 5 substituents selected from the following substituent group β or any of the following substituents (xxxiii) to (xxxvi) which may have 1 to 3

substituents selected from the following substituent group  $\alpha$ ;

(xxxiii) a C<sub>6-10</sub> aryl group, (xxxiv) a heteroaryl group, (xxxv) a C<sub>3-7</sub> cycloalkyl group or (xxxvi) a heterocycloalkyl group,

5         $R^E$ ,  $R^F$  and  $R^G$  independently represent a hydrogen atom, a cyano group, a carbamoyl group, a C<sub>2-7</sub> acyl group, a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>6-10</sub> aryl(C<sub>2-7</sub> alkoxy carbonyl) group, a nitro group, a C<sub>1-6</sub> alkylsulfonyl group, a sulfamide group, a carbamimidoyl group or a C<sub>1-6</sub> alkyl group which may have 1  
10 to 5 substituents selected from the following substituent group  $\beta$ ;

or  $R^E$  and  $R^F$  bind together to form an ethylene group;

or  $R^F$  and  $R^G$  bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have any  
15 substituent selected from the following substituent group  $\alpha$ ;

Q represents -C<sub>1-6</sub> alkylene-, -C<sub>2-6</sub> alkenylene-, -C<sub>2-6</sub> alkynylene-, -C<sub>1-6</sub> alkylene-O-, -C<sub>1-6</sub> alkylene-S-, -O-C<sub>1-6</sub> alkylene-, -S-C<sub>1-6</sub> alkylene-, -C<sub>1-6</sub> alkylene-O-C<sub>1-6</sub> alkylene-, -C<sub>1-6</sub> alkylene-S-C<sub>1-6</sub> alkylene-, -CON(R<sup>8</sup>)-, -N(R<sup>8</sup>)CO-, -C<sub>1-6</sub>  
20 alkylene-CON(R<sup>8</sup>)- or -CON(R<sup>8</sup>)-C<sub>1-6</sub> alkylene-;

$R^8$  represents a hydrogen atom or a C<sub>1-6</sub> alkyl group;

ring A represents a C<sub>6-10</sub> aryl group or a heteroaryl group] and the other represents a hydrogen atom, a hydroxy group, an amino group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy  
25 group, a cyano group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, a carbamoyl group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a halo(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a

cyano(C<sub>1-6</sub> alkyl) group, a carboxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxy carbonyl(C<sub>1-6</sub> alkyl) group, a carbamoyl(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkyl) group, a mono or di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, a carboxy(C<sub>1-6</sub> alkoxy) group, a C<sub>2-7</sub> alkoxy carbonyl(C<sub>1-6</sub> alkoxy) group, a carbamoyl(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkoxy) group, a C<sub>3-7</sub> cycloalkyl group, a C<sub>3-7</sub> cycloalkyloxy group, a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkyl) group, or C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkoxy) group;

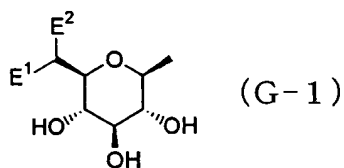
R<sup>2</sup> and R<sup>3</sup> independently represent a hydrogen atom, a hydroxy group, an amino group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a cyano group, a carboxy group, a C<sub>2-7</sub> alkoxy carbonyl group, a carbamoyl group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a halo(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a cyano(C<sub>1-6</sub> alkyl) group, a carboxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxy carbonyl(C<sub>1-6</sub> alkyl) group, a carbamoyl(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkyl) group, a mono or di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, a carboxy(C<sub>1-6</sub> alkoxy) group, a C<sub>2-7</sub> alkoxy carbonyl(C<sub>1-6</sub> alkoxy) group, a carbamoyl(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino(C<sub>1-6</sub> alkoxy) group, a C<sub>3-7</sub> cycloalkyl group, a C<sub>3-7</sub> cycloalkyloxy group, a C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkyl) group, or C<sub>3-7</sub> cycloalkyl(C<sub>1-6</sub> alkoxy) group;

A<sup>1</sup> represents O, S or NR<sup>9</sup>;

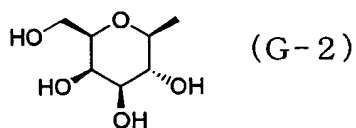
A<sup>2</sup> represents CH or N;

$R^9$  represents a hydrogen atom or a  $C_{1-6}$  alkyl group;

G represents a group represented by a formula:



or a formula:



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$E^1$  represents a hydrogen atom, a fluoine atom or a hydroxy group;

$E^2$  represents a hydrogen atom, a fluoine atom, a methyl group or a hydroxymethyl group;

10 [substituent group  $\alpha$ ]

a halogen atom, a hydroxy group, an amino group, a  $C_{1-6}$  alkyl group, a  $C_{1-6}$  alkoxy group, a halo( $C_{1-6}$  alkyl) group, a halo( $C_{1-6}$  alkoxy) group, a hydroxy( $C_{1-6}$  alkyl) group, a  $C_{2-7}$

15 alkoxycarbonyl( $C_{1-6}$  alkyl) group, a hydroxy( $C_{1-6}$  alkoxy) group,

an amino( $C_{1-6}$  alkyl) group, an amino( $C_{1-6}$  alkoxy) group, a mono or di( $C_{1-6}$  alkyl)amino group, a mono or di[hydroxy( $C_{1-6}$

alkyl)]amino group, a  $C_{1-6}$  alkylsulfonyl group, a  $C_{1-6}$

alkylsulfonylamino group, a  $C_{1-6}$  alkylsulfonylamino( $C_{1-6}$  alkyl)

group, a carboxy group, a  $C_{2-7}$  alkoxycarbonyl group, a sulfamoyl

20 group and  $-\text{CON}(R^H)R^I$

[substituent group  $\beta$ ]

a halogen atom, a hydroxy group, an amino group, a  $C_{1-6}$  alkoxy group, a  $C_{1-6}$  alkylthio group, a halo( $C_{1-6}$  alkoxy) group,

a halo(C<sub>1-6</sub> alkylthio) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkylthio) group, an amino(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkylthio) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]-sulfamide group, a C<sub>2-7</sub> acylamino group, an amino(C<sub>2-7</sub> acylamino) group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a carbamoyl(C<sub>1-6</sub> alkylsulfonylamino) group, a carboxy group, a C<sub>2-7</sub> alkoxycarbonyl group, -CON(R<sup>H</sup>)R<sup>I</sup>, and any of the following substituents (xxxvii) to (xxxviii) which may have 1 to 3 substituents selected from the above substituent group  $\alpha$ ;

(xxxvii) a C<sub>6-10</sub> aryl group, (xxxviii) C<sub>6-10</sub> aryl-O-, (xxxix) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkoxy) group, (xxxx) a C<sub>6-10</sub> aryl(C<sub>1-6</sub> alkylthio) group, (xxxxi) a heteroaryl group, (xxxxii) heteroaryl-O-, (xxxxiii) a C<sub>3-7</sub> cycloalkyl group, (xxxxiv) C<sub>3-7</sub> cycloalkyl-O-, (xxxxv) a heterocycloalkyl group, (xxxxvi) heterocycloalkyl-O-, (xxxxvii) an aliphatic cyclic amino group or (xxxxviii) an aromatic cyclic amino group

R<sup>H</sup> and R<sup>I</sup> independently represent a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have 1 to 3 substituents selected from the following substituent group  $\gamma$ ;

or both of R<sup>H</sup> and R<sup>I</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may have 1 to 3 substituents selected from the following substituent

group  $\delta$ ;

[substituent group  $\gamma$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C<sub>1-6</sub> alkyl)ureido group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]ureido group, a mono or di(C<sub>1-6</sub> alkyl)sulfamide group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]-sulfamide group, a C<sub>2-7</sub> acylamino group, an amino(C<sub>2-7</sub> acylamino) group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a carbamoyl(C<sub>1-6</sub> alkylsulfonylamino) group, a carboxy group, a C<sub>2-7</sub> alkoxycarbonyl group, a sulfamoyl group and  $-\text{CON}(\text{R}^{\text{J}})\text{R}^{\text{K}}$

15 [substituent group  $\delta$ ]

a halogen atom, a hydroxy group, an amino group, a C<sub>1-6</sub> alkyl group, a C<sub>1-6</sub> alkoxy group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxycarbonyl(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group, an amino(C<sub>1-6</sub> alkyl) group, an amino(C<sub>1-6</sub> alkoxy) group, a mono or di(C<sub>1-6</sub> alkyl)amino group, a mono or di[hydroxy(C<sub>1-6</sub> alkyl)]amino group, a C<sub>1-6</sub> alkylsulfonyl group, a C<sub>1-6</sub> alkylsulfonylamino group, a C<sub>1-6</sub> alkylsulfonylamino(C<sub>1-6</sub> alkyl) group, a carboxy group, a C<sub>2-7</sub> alkoxycarbonyl group, a sulfamoyl group and  $-\text{CON}(\text{R}^{\text{J}})\text{R}^{\text{K}}$

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$\text{R}^{\text{J}}$  and  $\text{R}^{\text{K}}$  independently represent a hydrogen atom or a C<sub>1-6</sub> alkyl group which may have any 1 to 3 substituents selected



from a hydroxy group, an amino group, a mono or di (C<sub>1-6</sub> alkyl) amino group, a C<sub>2-7</sub> alkoxy carbonyl group and a carbamoyl group;

or both of R<sup>J</sup> and R<sup>K</sup> bind together with the neighboring nitrogen atom to form an aliphatic cyclic amino group which may  
5 have any 1 to 3 substituents selected from a hydroxy group, an amino group, a mono or di (C<sub>1-6</sub> alkyl) amino group, a C<sub>1-6</sub> alkyl group, a hydroxy (C<sub>1-6</sub> alkyl) group, a C<sub>2-7</sub> alkoxy carbonyl group, a C<sub>2-7</sub> alkoxy carbonyl (C<sub>1-6</sub> alkyl) group and a carbamoyl group, or a pharmaceutically acceptable salt thereof, or a prodrug  
10 thereof.

2. A fused heterocyclic derivative as claimed in claim 1, wherein Q represents a methylene group, an ethylene group, -OCH<sub>2</sub>-, -CH<sub>2</sub>O-, -SCH<sub>2</sub>- or -CH<sub>2</sub>S-, or a pharmaceutically acceptable salt  
15 thereof, or a prodrug thereof.

3. A fused heterocyclic derivative as claimed in claim 2, wherein Q represents an ethylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

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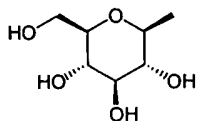
4. A fused heterocyclic derivative as claimed in claim 2, wherein Q represents a methylene group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

25 5. A fused heterocyclic derivative as claimed in claim 1, wherein R<sup>5</sup> and R<sup>6</sup> independently represent a hydrogen atom, a hydroxy group, a halogen atom, a C<sub>1-6</sub> alkyl group, a C<sub>2-6</sub> alkenyl

group, a C<sub>2-6</sub> alkynyl group, a C<sub>1-6</sub> alkoxy group, a C<sub>2-6</sub> alkenyloxy group, a C<sub>1-6</sub> alkylthio group, a C<sub>2-6</sub> alkenylthio group, a halo(C<sub>1-6</sub> alkyl) group, a halo(C<sub>1-6</sub> alkoxy) group, a halo(C<sub>1-6</sub> alkylthio) group, a hydroxy(C<sub>1-6</sub> alkyl) group, a hydroxy(C<sub>2-6</sub> alkenyl) group, a hydroxy(C<sub>1-6</sub> alkoxy) group or a hydroxy(C<sub>1-6</sub> alkylthio) group, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

6. A fused heterocyclic derivative as claimed in any one of claims 1 to 5, wherein the ring A represents a benzene ring or a pyridine ring, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

7. A fused heterocyclic derivative as claimed in any one of claims 1 to 6, wherein G represents a group represented by the formula:



, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

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8. A pharmaceutical composition comprising as an active ingredient a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

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9. A human SGLT inhibitor comprising as an active ingredient a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

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10. A human SGLT inhibitor as claimed in claim 9, wherein the SGLT is SGLT1 and/or SGLT2.

11. A human SGLT inhibitor as claimed in claim 9, which is  
10 an agent for the inhibition of postprandial hyperglycemia.

12. A human SGLT inhibitor as claimed in claim 9, which is an agent for the prevention or treatment of a disease associated with hyperglycemia.

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13. A human SGLT inhibitor as claimed in claim 12, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia,  
20 hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

14. A human SGLT inhibitor as claimed in claim 9, which is  
25 an agent for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

15. A pharmaceutical composition as claimed in claim 8, wherein the dosage form is sustained release formulation.

16. A human SGLT inhibitor as claimed in claim 9, wherein the  
5 dosage form is sustained release formulation.

17. A method for the inhibition of postprandial hyperglycemia, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to  
10 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

18. A method for the prevention or treatment of a disease associated with hyperglycemia, which comprises administering  
15 an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof.

19. A method for the prevention or treatment as claimed in  
20 claim 18, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder,  
25 atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

20. A method for the inhibition of advancing impaired glucose tolerance into diabetes in a subject, which comprises administering an effective amount of a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a  
5 pharmaceutically acceptable salt thereof, or a prodrug thereof.

21. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a  
10 pharmaceutical composition for the inhibition of postprandial hyperglycemia.

22. A use of a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt  
15 thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

23. A use as claimed in claim 22, wherein the disease associated  
20 with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart  
25 failure, edema, hyperuricemia and gout.

24. A use of a fused heterocyclic derivative as claimed in

any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.

5

25. A pharmaceutical composition as claimed in claim 8, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth

factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

26. A human SGLT inhibitor as claimed in claim 9, which comprises combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion

enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxigenase inhibitor, a carnitine palmitoyl-transferase



- inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an
- 5 appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent,
- 10 a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.
- 15 27. A method for the inhibition of postprandial hyperglycemia as claimed in claim 17, which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer,
- 20 a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase
- 25 inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor,

glucagon-like peptide-1, a glucagon-like peptide-1 analogue,  
 a glucagon-like peptide-1 agonist, amylin, an amylin analogue,  
 an amylin agonist, an aldose reductase inhibitor, an advanced  
 glycation endproducts formation inhibitor, a protein kinase C  
 5 inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium  
 channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid  
 peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-  
 dipeptidase inhibitor, insulin-like growth factor-I,  
 platelet-derived growth factor, a platelet-derived growth  
 10 factor analogue, epidermal growth factor, nerve growth factor,  
 a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin,  
 EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics,  
 cathartics, a hydroxymethylglutaryl coenzyme A reductase  
 inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an  
 15 acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,  
 a thyroid hormone receptor agonist, a cholesterol absorption  
 inhibitor, a lipase inhibitor, a microsomal triglyceride  
 transfer protein inhibitor, a lipoxxygenase inhibitor, a  
 carnitine palmitoyl-transferase inhibitor, a squalene synthase  
 20 inhibitor, a low-density lipoprotein receptor enhancer, a  
 nicotinic acid derivative, a bile acid sequestrant, a sodium/bile  
 acid cotransporter inhibitor, a cholesterol ester transfer  
 protein inhibitor, an appetite suppressant, an  
 angiotensin-converting enzyme inhibitor, a neutral  
 25 endopeptidase inhibitor, an angiotensin II receptor antagonist,  
 an endothelin-converting enzyme inhibitor, an endothelin  
 receptor antagonist, a diuretic agent, a calcium antagonist,

a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary  
 5 alkalinizer.

28. A method for the prevention or treatment of a disease associated with hyperglycemia as claimed in claim 18, which comprises administering in combination with at least one member  
 10 selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a  
 15 dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase  
 20 kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
 25 receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,

insulin-like growth factor-I, platelet-derived growth factor,  
 a platelet-derived growth factor analogue, epidermal growth  
 factor, nerve growth factor, a carnitine derivative, uridine,  
 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,  
 5 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl  
 coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor  
 agonist, an acyl-coenzyme A cholesterol acyltransferase  
 inhibitor, probcol, a thyroid hormone receptor agonist, a  
 cholesterol absorption inhibitor, a lipase inhibitor, a  
 10 microsomal triglyceride transfer protein inhibitor, a  
 lipoxygenase inhibitor, a carnitine palmitoyl-transferase  
 inhibitor, a squalene synthase inhibitor, a low-density  
 lipoprotein receptor enhancer, a nicotinic acid derivative, a  
 bile acid sequestrant, a sodium/bile acid cotransporter  
 15 inhibitor, a cholesterol ester transfer protein inhibitor, an  
 appetite suppressant, an angiotensin-converting enzyme  
 inhibitor, a neutral endopeptidase inhibitor, an angiotensin  
 II receptor antagonist, an endothelin-converting enzyme  
 inhibitor, an endothelin receptor antagonist, a diuretic agent,  
 20 a calcium antagonist, a vasodilating antihypertensive agent,  
 a sympathetic blocking agent, a centrally acting  
 antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an  
 antiplatelets agent, a uric acid synthesis inhibitor, a  
 uricosuric agent and a urinary alkalinizer.

25

29. A method for the inhibition of advancing impaired glucose  
 tolerance into diabetes in a subject as claimed in claim 19,

which comprises administering in combination with at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor,

5 an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a

10 fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose

15 reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- $\alpha$ -linked-acid-

20 dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, an antidiarrhoics,

25 cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol,

a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a  
 5 nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral  
 10 endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  
 15  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

30. A use of (A) a fused heterocyclic derivative as claimed  
 20 in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin  
 25 analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine

phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor,  
 a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase  
 inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic  
 gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase  
 5 kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like  
 peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,  
 an amylin analogue, an amylin agonist, an aldose reductase  
 inhibitor, an advanced glycation endproducts formation  
 inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
 10 receptor antagonist, a sodium channel antagonist, a transcript  
 factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an  
*N*-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,  
 insulin-like growth factor-I, platelet-derived growth factor,  
 a platelet-derived growth factor analogue, epidermal growth  
 15 factor, nerve growth factor, a carnitine derivative, uridine,  
 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,  
 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl  
 coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor  
 agonist, an acyl-coenzyme A cholesterol acyltransferase  
 20 inhibitor, probcol, a thyroid hormone receptor agonist, a  
 cholesterol absorption inhibitor, a lipase inhibitor, a  
 microsomal triglyceride transfer protein inhibitor, a  
 lipoxygenase inhibitor, a carnitine palmitoyl-transferase  
 inhibitor, a squalene synthase inhibitor, a low-density  
 25 lipoprotein receptor enhancer, a nicotinic acid derivative, a  
 bile acid sequestrant, a sodium/bile acid cotransporter  
 inhibitor, a cholesterol ester transfer protein inhibitor, an

appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the inhibition of postprandial hyperglycemia.

31. A use of (A) a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin,



an amylin analogue, an amylin agonist, an aldose reductase  
 inhibitor, an advanced glycation endproducts formation  
 inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
 receptor antagonist, a sodium channel antagonist, a transcript  
 5 factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an  
 N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,  
 insulin-like growth factor-I, platelet-derived growth factor,  
 a platelet-derived growth factor analogue, epidermal growth  
 factor, nerve growth factor, a carnitine derivative, uridine,  
 10 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide,  
 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl  
 coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor  
 agonist, an acyl-coenzyme A cholesterol acyltransferase  
 inhibitor, probcol, a thyroid hormone receptor agonist, a  
 15 cholesterol absorption inhibitor, a lipase inhibitor, a  
 microsomal triglyceride transfer protein inhibitor, a  
 lipoxxygenase inhibitor, a carnitine palmitoyl-transferase  
 inhibitor, a squalene synthase inhibitor, a low-density  
 lipoprotein receptor enhancer, a nicotinic acid derivative, a  
 20 bile acid sequestrant, a sodium/bile acid cotransporter  
 inhibitor, a cholesterol ester transfer protein inhibitor, an  
 appetite suppressant, an angiotensin-converting enzyme  
 inhibitor, a neutral endopeptidase inhibitor, an angiotensin  
 II receptor antagonist, an endothelin-converting enzyme  
 25 inhibitor, an endothelin receptor antagonist, a diuretic agent,  
 a calcium antagonist, a vasodilating antihypertensive agent,  
 a sympathetic blocking agent, a centrally acting

antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the prevention or treatment  
5 of a disease associated with hyperglycemia.

32. A use of (A) a fused heterocyclic derivative as claimed in any one of claims 1 to 7, or a pharmaceutically acceptable salt thereof, or a prodrug thereof and (B) at least one member  
10 selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a  
15 dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase  
20 kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a  $\gamma$ -aminobutyric acid  
25 receptor antagonist, a sodium channel antagonist, a transcript factor NF- $\kappa$ B inhibitor, a lipid peroxidase inhibitor, an N-acetylated- $\alpha$ -linked-acid-dipeptidase inhibitor,

insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, 5 Y-128, an antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibrate, a  $\beta_3$ -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a 10 microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter 15 inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, 20 a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an  $\alpha_2$ -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture 25 of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance into diabetes in a subject.